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11 South Meridian Street
Indianapolis, Indiana 46204
(317) 236-1313
(317) 231-7433 Fax

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Confirmation No.: 9879

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on December 11, 2007

Application No.: 10/765,536

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Joyce Hamilton

Invention: VITAMIN RECEPTOR BINDING
DRUG DELIVERY CONJUGATES

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(Signature)

Inventor: Iontcho R. Vlahov et al.

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Joyce Hamilton

Filed: January 27, 2004

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(Printed Name)

Attorney
Docket: 20150-74359

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Examiner: Jones, Dameron Levest

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DECLARATION UNDER 37 C.F.R. § 1.132 OF DR. CHRISTOPHER P. LEAMON

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

I declare as follows:

1. I am currently the Vice President of Research at Endocyte, Inc. I received a Doctorate of Philosophy degree in Chemistry from Purdue University in 1993. I have 19 years of experience in the drug delivery field, and I am credited with conducting the initial groundbreaking experiments in folate-targeted technology. As the current head of Endocyte's Discovery team, my efforts have yielded 4 clinically investigated agents (one in Phase 1, three in

Phase 2) and 3 additional agents that will enter the clinic in late 2007 and early 2008 for the diagnosis and treatment of cancer. I have authored or co-authored approximately 40 peer-reviewed journal articles and book chapters in the area of my research interest, and I have 16 issued U.S. patents or pending applications in this field. A copy of my curriculum vitae is attached as Exhibit A.

2. I am also one of the inventors of the U.S. Patent Application Serial No. 10/765,336, titled "Vitamin Receptor Binding Drug Delivery Conjugates." I have read and understand the specification of the captioned application and the pending claims in the application. The pending claims of the captioned patent application are drawn to compounds, compositions, and methods that may be used for treating pathogenic cell populations, such as cancer. In particular, the compounds are conjugates of a vitamin receptor binding moiety and a drug.

3. Subsequent to the filing of the above-captioned application, I performed additional *in vivo* experiments using the claimed drug delivery conjugates. Briefly, four to six week-old female *nu/nu* mice, fed a folate-deficient diet, were injected with human nasopharyngeal cancer (KB) cells in the subcutis of the dorsal medial area. When the KB tumors were well-established (e.g. 50-110 mm³ in volume, usually by day-9 post implantation), the mice were divided into groups of five, and either a control solution (PBS), unconjugated drug, or a conjugate compound was injected through the lateral tail vein in each group following various dosing protocols described in detail below. Growth of each tumor was measured during and after the treatment. For individual tumors, a complete response (CR) was defined as a disappearance of measurable tumor mass at some point after tumor implantation.

4. The experimental results for conjugated compounds compared to unconjugated compounds and controls are shown in Figures 1-4 below.



Tumor Volume (mm³)

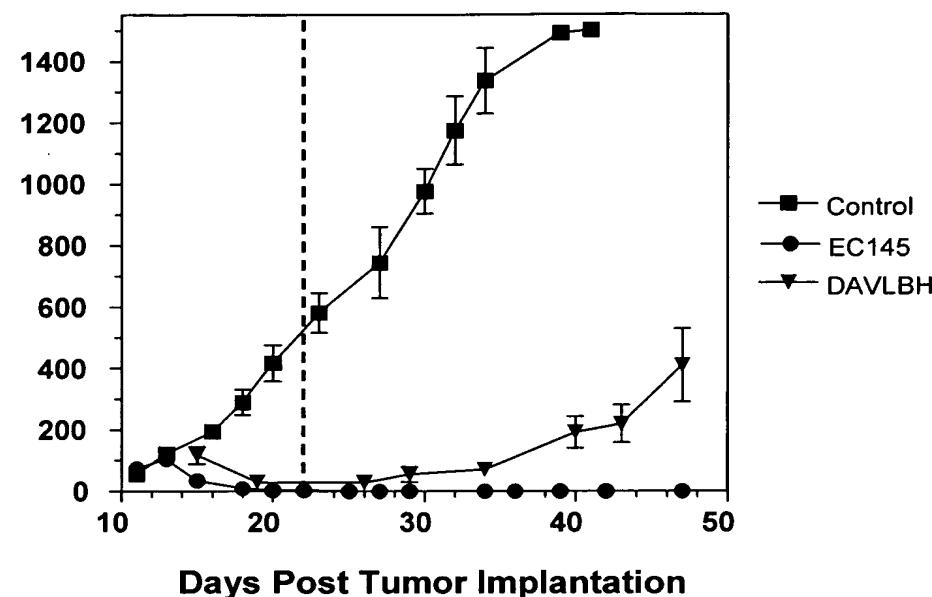


Fig. 1

Tumor Volume (mm³)

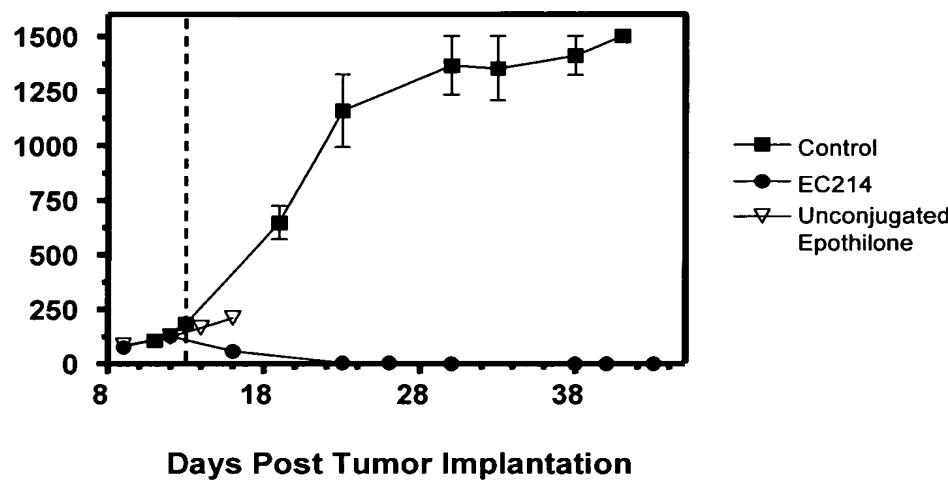


Fig. 2

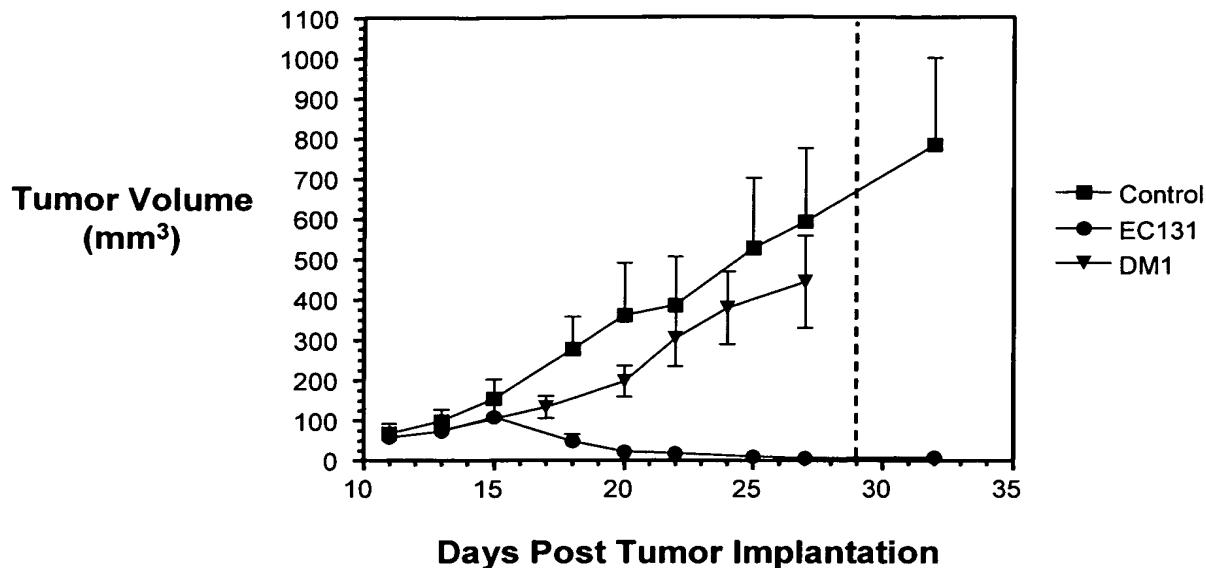


Fig. 3

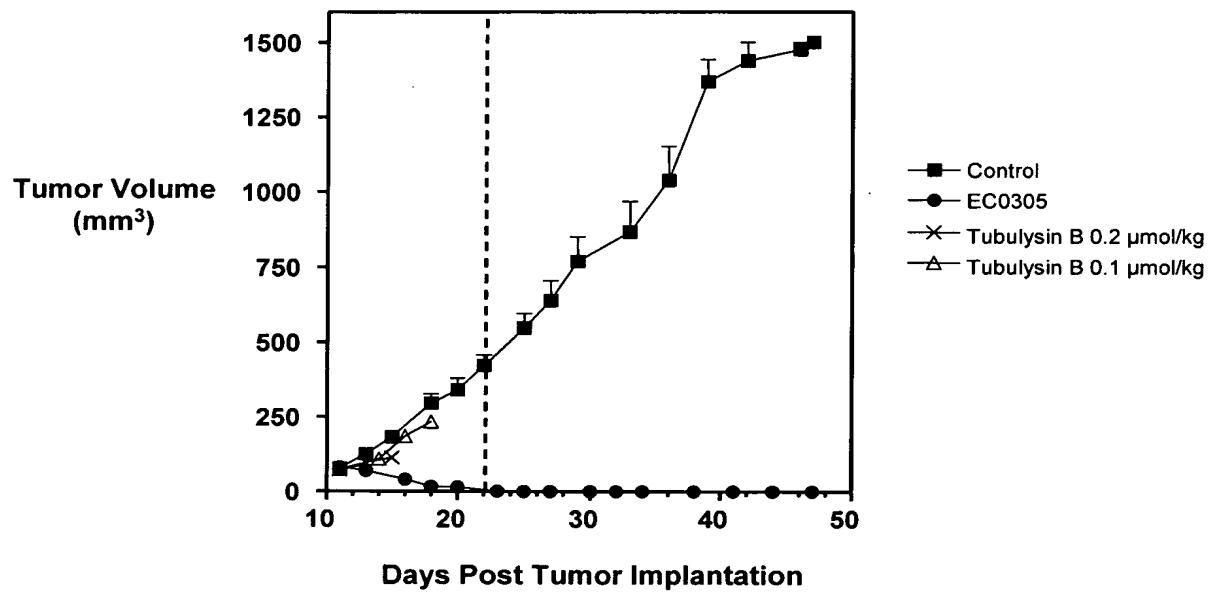


Fig. 4

The data are shown beginning on the first dosing day. The vertical dotted line in each Figure indicates the last dosing day.

5. Figure 1 shows the data for EC145, a conjugate of the *Vinca* alkaloid, desacetylvinblastine monohydrazone (DAVLBH). EC145 was administered at 1.2 μ mol/kg qdx5

for 2 wk. DAVLBH was administered following the known MTD regimen (1 μ mol/kg TIW for 2 weeks). Figure 2 shows the data for EC214, a conjugate of an epothilone. EC214 was administered at 5 μ mol/kg qdx5 for 1 week. The unconjugated epothilone was administered at 1.9 μ mol/kg TIW for 1 wk, which was lethal prior to the end of the dosing period. Figure 3 shows the data for EC131, a conjugate of the maytansinoid DM1. EC131 was administered on days 11, 13 at 1 μ mol/kg, then on days 15, 18, 20, 22, 25, 27, 29 at 1.5 μ mol/kg. DM1 was administered following the known MTD regimen in that model (80 μ g/kg qdx5 for 1 week). Figure 4 shows the data for EC0305, a conjugate of tubulysin B. EC0305 was administered at 1 μ mol/kg TIW for 2 weeks. Tubulysin B was administered at two concentrations (0.1 and 0.2 μ mol/kg TIW for 2 weeks), each of which was lethal prior to the end of the dosing period.

6. Figures 1-4 each demonstrate that the treatment of tumor-bearing mice with the claimed conjugate compounds leads to a complete response in 100% (5/5) of the animals tested. The complete response was observed using four different drug chemistries, vincas, epothilones, maytansines, and tubulysins, each of which is structurally distinct from the other. In contrast, only a minor impact on the implanted tumor was observed in animals treated with the corresponding unconjugated compound DAVLBH, epothilone and DM1, and no meaningful effect whatsoever was observed at either dose of the unconjugated tubulysin B. Further, treatment with the unconjugated epothilone or tubulysin B compound at the MTD lead to high toxicity compared to the corresponding conjugate compound.

7. Finally, I performed another set of experiments where I continued to observe the group of animals treated with EC145 and EC0305 as described above for more than 90 days and 120 days, respectively. The results of the continued observation of those treated animals are shown in Figures 5-6 below.

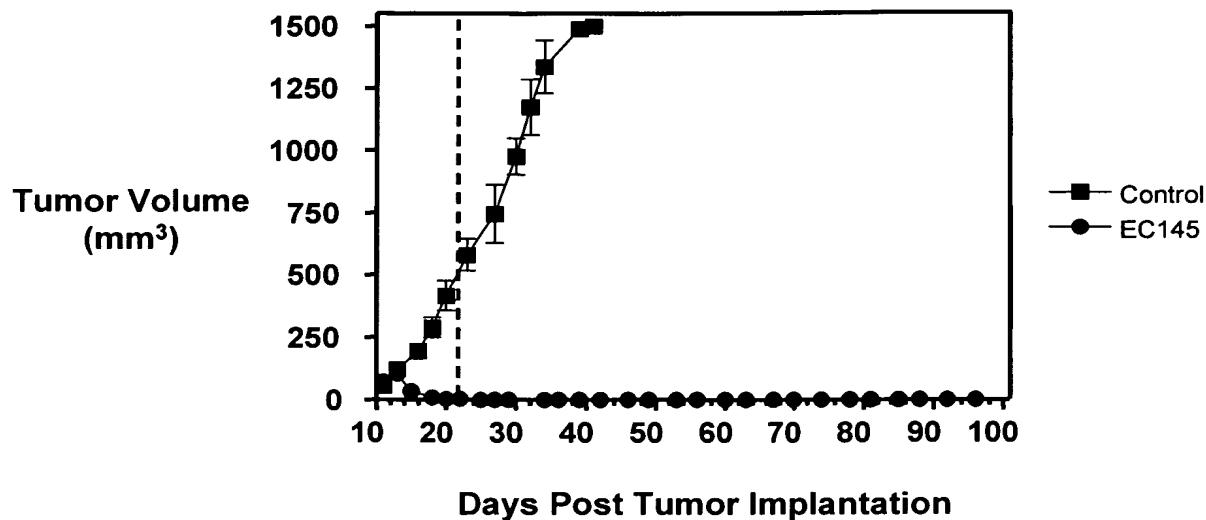


Fig. 5

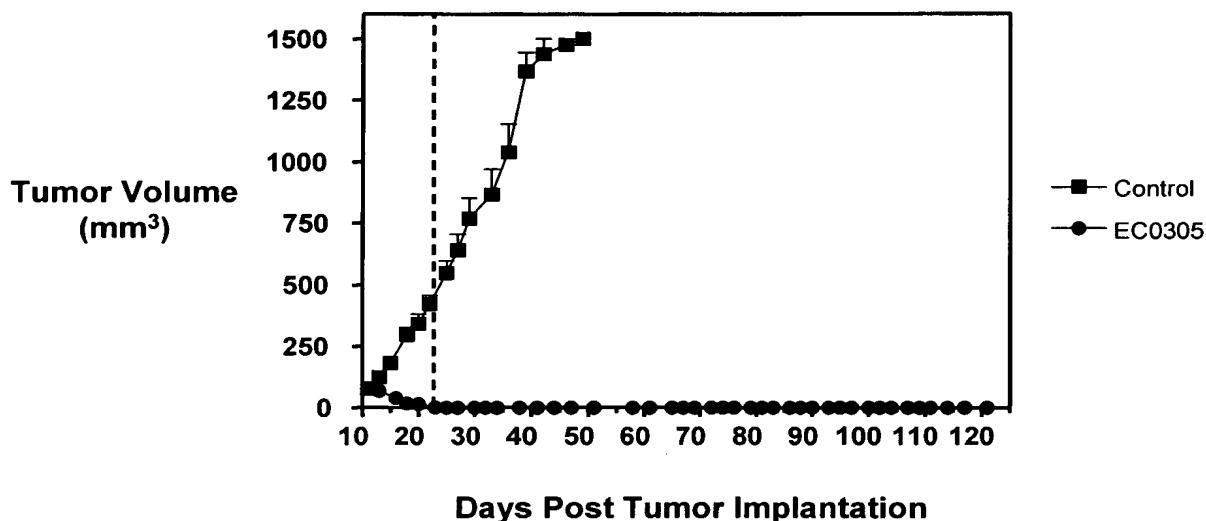


Fig. 6

8. As shown in Figures 5 and 6, no recurrence or regrowth of the tumors was observed during the entire observation period, despite that the last administration of conjugate was given more than 70 days or 100 days earlier in each case. In contrast, though the unconjugated DAVLBH had a minor impact on tumor growth during treatment (see Figure 1), once the treatment was discontinued, that impact rapidly reversed resulting in substantial tumor regrowth.

9. The results in Figures 1-4 demonstrate that a complete response can be obtained in mice with solid tumors that are treated with vitamin receptor binding conjugates of cytotoxic drugs. A complete response (i.e., complete tumor disappearance) is an unexpected result in the field of cancer therapies. That result is all the more unexpected when it is observed that none of the unconjugated drugs were capable of a complete response even when dosed at their MTDs, and the unconjugated tubulysin B had no effect on tumor growth even at lethal doses (i.e., no therapeutic window, see Figure 4). The effects demonstrated in Figures 1-4 (i.e., complete responses in up to 100% of treated animals) are being consistently observed.

10. The results in Figures 5-6 demonstrate that non-recurrence of the tumor can be achieved in up to 100% of animals that are treated with vitamin receptor binding conjugates of cytotoxic drugs. Non-recurrence (i.e., no observed regrowth of tumor) is also an unexpected result in the field of cancer therapy. The unexpected nature of this result is highlighted by the observation that even when a partial response was observed for the unconjugated compound DAVLBH, the tumor began to rapidly regrow once DAVLBH was no longer administered.

11. Endocyte, Inc., the assignee of the instant application, has begun entry of EC145 into Phase II clinical trials as a cancer therapy. In addition, Endocyte, Inc. has begun entry of EC0305 into preclinical development as a cancer therapy. Finally, a licensee of Endocyte, Inc. has begun entry of EC216 into Phase I clinical trials as a cancer therapy.

All statements herein made of my own knowledge are true, and all statements herein made on information and belief are believed to be true; those statements are made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code; and that such willful false statements may jeopardize the validity of the application, any patent issuing thereon, or any patent to which this verified statement is directed.

Dated: Nov. 29, 2007

By:



Christopher P. Leamon, Ph.D.

EXHIBIT A

CHRISTOPHER P. LEAMON, PH.D.

Vice President, R&D
Endocyte, Inc.
3000 Kent Ave.
West Lafayette, IN 47906
765-463-7175

EDUCATION

1988-1993 Purdue University, West Lafayette, Indiana
Chemistry, Doctor of Philosophy
Concentration: Biochemistry
GPA: 6.0/6.0

1984-1988 Baldwin Wallace College, Berea, Ohio
A.C.S. Chemistry, Bachelor of Science, *cum laude*
GPA: Overall 3.6/4.0. Major 3.85/4.0.

EMPLOYMENT INFORMATION

Endocyte, Inc., West Lafayette, IN

4/00-present Vice President and Officer, Research & Development
Directed R&D for radiopharmaceutical imaging, targeted
chemotherapeutics, immuno- and gene therapy projects. Co-responsible
for taking one radiodiagnostic imaging agent, one immunotherapeutic,
and two chemotherapeutics into the clinic (Phase 1 and 2 trials).

2/99-4/00 Director, Biology and Biochemistry

Isis Pharmaceuticals, Carlsbad, CA

3/98-2/99 Assistant Director, Drug Delivery Research & Pharm. Dev.
Developed drug delivery technologies for therapeutic antisense
oligonucleotides.

8/96-3/98 Senior Research Scientist

GlaxoWellcome Research Institute, Research Triangle Park, NC

5/95-8/96 Research Investigator I, Oligomer Development
Developed drug delivery technologies for therapeutic peptides, proteins,
plasmids and antisense oligonucleotides.

6/93-5/95 Senior Scientist
Page 1 of 12

P.S. Low Laboratory, Purdue University, West Lafayette, IN

10/88-5/93

Graduate Research Assistant

Researched the mechanisms of macromolecular transport across mammalian cell membranes by exploiting receptor-mediated endocytosis pathways. Discovered folate-targeted drug delivery.

CONTINUING EDUCATION

AACR Conferences: Yearly attendee

PTI International Course AH318: Strategies for Optimal Dose Finding in Drug Development. March, 2005, Chicago, IL

BioRad MRC-1024 Confocal Laser Scanning Microscopy training, March, 1998
Department of Engineering, U.C.S.D, San Diego, CA

Light Microscopy for the Biomedical Sciences, July, 1995
Carolina Workshop, Chapel Hill, NC

Liposome Technology, April, 1995
The Center for Professional Advancement, San Francisco, CA

Normal and Reverse Phase HPLC, January, 1995
Chromatography Institute of America, Research Triangle Park, NC

PCR Techniques, May, 1994
Life Technologies Training Center, Germantown, MD

Recombinant DNA Techniques I, December, 1993
Life Technologies Training Center, Germantown, MD

SKILLS

- Scientific lab management: chemistry, biology
- Project management: from concept to IND
- Inter-company collaborative project management
- Pre-clinical toxicology management: Support of Phase 1 and 2 clinical studies
- IND submissions (Pharm/Tox sections; clinical protocol design):
 1. *FolateScan, Technetium Tc 99m EC20. IND # 60,381, Activated June 12, 2000.*
 2. *FolateImmune (EC90(KLH-FITC) + GPI-0100) & EC17 (Folate-FITC). BB-IND # 10704, Activated March 1, 2003.*
 3. *EC145, Injection. IND #71,713, Activated January 20, 2006.*
 4. *EC0225, Injection. IND #75,477, Activated February 26, 2007.*
- Pre-IND submissions and presentations to FDA (4 total)

- FDA correspondence
- SBIR, FLAIR and STTR grant submissions (see below)
- Lipid synthesis/liposome formulation techniques
- Oligonucleotide synthesis
- Peptide synthesis
- Mammalian cell culture
- Chromatography: FPLC, HPLC
- Protein modification (ligand coupling)
- Electrophoresis; Agarose, SDS-PAGE
- Blotting techniques: Northern, Southern, Western, E.C.L.
- Molecular Biology: routine subcloning/PCR
- Laser-scanning confocal microscopy (BioRad MRC 1024; Leica CLSM): conventional, fluorescent, DIC and transmission electron microscopy (JEOL JEM-100 CX)
- Polyclonal antibody production
- Trained in radiological control, 19 years (RSO for Endocyte, Inc., 1999-present)

PRINCIPLE INVESTIGATOR EXPERIENCE

- Principle Investigator: Indiana 21st Century Grant
Development of a folate-targeted chemotherapeutic agent (EC145)
Awarded Budget: \$1,950,000
Years 2004-2006
- Principle Investigator: Phase II FLAIR # 1 R44 CA096020-02A1
Development of tumor-targeted drug conjugates (EC0225).
Awarded Budget: \$1,624,008
Years 2004-2006
- Principle Investigator: Phase I FLAIR # 1 R44 CA096020-01
Development of tumor-targeted drug conjugates.
Awarded Budget: \$250,000
Year 2002
- Principle Investigator: Indiana 21st Century Grant
Development of a folate-targeted imaging agent (EC20)
Awarded Budget: \$2,000,000
Years 2001-2002
- Principle Investigator: Phase II SBIR # 2 R44 CA 80435-02
Cancer diagnostic imaging project (DTPA-Folate).
Awarded Budget: \$809,037
Year 2000

Collaborative Project Management

- *Bristol Myers Squibb*: Successfully managed a collaboration between Endocyte and BMS from March, 2004 to December, 2005. Purpose-- create a folate-targeted epothilone that is active against solid human tumor xenografts. EC214 emerged from this collaboration. This agent was renamed BMS-753493, and it was selected for preclinical development by BMS on December 15, 2005. Endocyte received a \$3M license payment with the potential for milestone payments and royalties.
- *ImmunoGen*: Successfully managed a collaboration between Endocyte and ImmunoGen, Inc. beginning May, 2002. Purpose-- create a folate-targeted maytansinoid that is active against solid human tumor xenografts. EC131 emerged as a lead compound from this collaboration. Both Endocyte and ImmunoGen are currently in discussions about the development of this active chemotherapeutic.
- *Rhone-Poulenc Rorer (Aventis)*: Successfully managed a collaboration between Endocyte and RPR from February, 1999 to April, 2001. Purpose-- create a folate-targeted lipid-based formulation capable of transfecting tumor xenografts *in vivo*. Several targeted formulations were created and shown to efficiently transfer model genes into numerous preclinical tumor models. This project was terminated early, however, as a result of the merger between RPR and Hoechst to form Aventis. Proceeds to Endocyte as a result of this collaboration totaled close to \$3M.

ACTIVITIES AND HONORS

2003	Albert A. Hopeman Honorarium, Grove City College
2002-present	American Association for Cancer Research, Active Member
1998-present	Control Release Society, Regular Member
1994-present	American Society for Biochemistry and Molecular Biology, Regular Member
1993	Presidential Academic Recognition, Purdue University
1992	Phi Lambda Upsilon National Honorary Chemistry Society
1991	Upjohn Fellowship, Purdue University
1990	NIH Biophysical Training Grant
1989	Voil Fellowship, Purdue University
1988	American Institutes of Chemists Award
1984-1988	Presidential Academic Scholarship, Baldwin Wallace College
1987	Analytical Chemists Award, Baldwin Wallace College
1987	Vice President, American Chemical Society Student Affiliates
1986	Kappa Mu Epsilon National Honorary Mathematics Fraternity

REVIEWER *J. of Pharmaceutical Sciences; J. Am. Chem. Soc.; J. Controlled Release; Bioconjugate Chemistry; Biomacromolecules; Int. J. Cancer; J. Drug Targeting; Biochimica et Biophysica Acta, Pharmaceutical Research.*

EDITORIAL ADVISORY BOARD *Bioconjugate Chemistry, 2004-2008*

PUBLICATIONS

- **Leamon, C.P.** and Jackman A.L. (2007) Exploitation of the Folate Receptor in the Management of Cancer and Inflammatory Disease. *Vitamins and Hormones* Vol. 79 (in press).
- **Leamon, C.P.**, Reddy, J.A. Vlahov, I.R., Westrick, E., Dawson, A., Dorton, R., Vetzel, M., Santhapuram, H.K.R. and Wang, Y. (2007) Preclinical Activity of a Novel Tumor-Targeted Dual Drug Conjugate. *Molecular Pharmaceutics* 4(5), 659-667.
- Lu, J., Satyam, A., Vlahov, I., Halsey, J., Westrick, E. Low, P.S. and **Leamon, C.P.** (2007) Folate-Targeted DNP Conjugates Can Mediate an Effective Antitumor Response in DNP-immunized Mice. *Molecular Pharmaceutics* 4(5), 695-706.
- **Leamon, C.P.**, Reddy, J.A., Vlahov, I.R., Westrick, E., Parker, N., Nicoson, J.S. and Vetzel, M. (2007) Comparative Preclinical Activity of the Folate-Vinca Alkaloid Conjugates EC140 and EC145. *Int. J. Cancer* 121, 1585-1592.
- Vlahov, I.R., Santhapuram, H.K.R. Wang, Y., Kleindl, P.J. You, F., Howard, S.J., Westrick, E., Reddy, J.A. and **Leamon, C.P.** (2007) Releasable Multi-Drug Conjugates of Folic Acid: An Assembly Concept for the Consecutive Introduction of Unsymmetrical Disulfide Bonds. *J. Org. Chem.* 72, 5968-5972.
- Reddy, J.A., Westrick, E., Santhapuram, H.K., Howard, S.J., Miller, M.L., Vetzel, M., Vlahov, I.R., Chari, R.V.J., Goldmacher, V.S. and **Leamon, C.P.** (2007) Folate receptor specific anti-tumor activity of EC131, a folate-maytansinoid conjugate. *Cancer Research* 67(13), 6376-82.
- Reddy, J.A., Dorton, R., Westrick, E., Dawson, A., Smith, T., Xu, L.C., Vetzel, M., Kleidl, P., Vlahov, I.R., and **Leamon, C.P.** (2007) Pre-clinical evaluation of EC145, a folate-*Vinca* alkaloid conjugate. *Cancer Research* 67(9), 4434-4442.
- Lu, J., Xu, L.C., Parker, N., Westrick, E., Reddy, J., Vetzel, M., Low, P.S. and **Leamon, C.P.** (2006) Preclinical Pharmacokinetics, Tissue Distribution, and Antitumor Activity of a Folate-Hapten Conjugate Targeted Immunotherapy in Hapten-Immunized Mice. *Mol. Cancer Ther.* 5 (12), 3258-3267..
- **Leamon, C.P.**, Reddy, J.A., Vlahov, I.R., Kleindl, P.J., Vetzel, M., and Westrick, E. (2006) Synthesis and biological evaluation of EC140: A new folate-targeted vinca alkaloid conjugate. *Bioconjugate Chem.* 17(5), 1226-32. ****Article featured on journal cover.**
- Vlahov, I.R., Santhapuram, H.K.R., Kleindl, P.J., Howard, S.J., Stanford, K.M., and **Leamon, C.P.** (2006) Design and Regioselective Synthesis of a New Generation of Targeted Chemotherapeutics (Part 1): EC145, a Folic Acid Conjugate of Desacetylvinblastine Monohydrate. *Bioorg. Med. Chem. Lett.* 16(19), 5093-6.
- Reddy, J.A., **Leamon, C.P.** and Low, P.S. (2006) Folate-mediated delivery of protein and peptide drugs into tumors in *Delivery of Protein and Peptide Drugs in Cancer*, Imperial College Press. Vladimir Torchilin, Ed., pp. 183-204.

- Reddy, J.A., Westrick, E., Santhapuram, H.K., Vlahov, I.V., Howard, S.J. and **Leamon, C.P.** (2006) Folate receptor specific anti-tumor activity of folate-mitomycin conjugates. *Cancer Chemotherapy and Pharmacology*, **58**(2), 229-236.
- **Leamon, C.P.**, Reddy, J.A., Vlahov, I.R., Vetzel, M., Parker, N. and Westrick, E. (2005) Synthesis and biological evaluation of EC72: A new folate-targeted chemotherapeutic. *Bioconj. Chem.* **16**(4), 803-811.
- Parker, N., Turk, M.J., Westrick, E., Lewis, J.D., Low, P.S., and **Leamon, C.P.** (2005) Folate receptor expression in carcinomas and normal tissues determined by a sensitive and quantitative radioligand binding assay. *Anal. Biochem.* **338**(2), 284-293.
- **Leamon, C.P.** and Low, P.S. (2005) Receptor-mediated Drug Delivery, in *Drug Delivery: Principles and Applications*, pp. 167-187. Eds. B. Wang, T. Siahaan, R. Soltero. John Wiley & Sons.
- Reddy, J.A., Allagadda, V.M. and **Leamon, C.P.** (2005) Targeting Therapeutic and Imaging agents to Folate Receptor Positive Tumors. *Current Pharmaceutical Biotechnology* **6**(2) 131-150. Ed. A. Rolland.
- Paulos, C.M., Reddy, J.A., Turk, M.J., **Leamon, C.P.** and Low, P.S. (2004) Ligand Binding and Kinetics of Folate Receptor Recycling In Vivo: Impact on Receptor-Mediate Drug Delivery. *Molecular Pharmacology* **66** (6), 1406-1414.
- **Leamon, C.P.** and Reddy, J.A. (2004) Folate-targeted Chemotherapy. *Advanced Drug Delivery Reviews* **56**(8), 1127-1141.
- Lu, Y., Sega, E., **Leamon, C.P.** and Low, P.S. (2004) Folate-targeted immunotherapy of cancer: mechanism and therapeutic potential. *Advanced Drug Delivery Reviews* **56**, 1161-1176.
- Reddy, J.A., Xu, L.C., Parker, N., Vetzel, M. and **Leamon, C.P.** (2004) Preclinical Evaluation of ^{99m}Tc-EC20 for Imaging Folate Receptor Positive Tumors. *Journal of Nuclear Medicine* **45**, 857-866.
- **Leamon, C.P.**, Cooper, S.R. and Hardee, G.E. (2003) Folate Liposome-mediated Antisense Oligodeoxynucleotide Targeting to Cancer Cells: Evaluation *in vitro* and *in vivo*. *Bioconjugate Chemistry* **14**(4), 738-747. **Article featured on journal cover.
- **Leamon, C.P.**, Parker, M.A., Vlahov, I.R., Xu, L.C., Reddy, J.A., Vetzel, M. and Douglas, N. (2002) Synthesis and biological evaluation of EC20: A new folate-derived, ^{99m}Tc-based radiopharmaceutical. *Bioconjugate Chemistry* **13**(6), 1200-1210.
- Reddy, J.A., Abburi, C., Hofland, H., Howard, S.J., Vlahov, I., Wils, P. and **Leamon, C.P.** (2002) Folate-targeted, cationic liposome-mediated gene transfer into disseminated peritoneal tumors. *Gene Therapy* **9**, 1542-50.
- Hofland, H.E.J., Masson, C., Iginla, S., Osetinsky, I., Reddy, J.A., **Leamon, C.P.**, Scherman, D., Bessodes, M., Wils, P. (2001) Folate-targeted gene transfer *in vivo*. *Molecular Therapy* **5**(6):739-44.
- **Leamon, C.P.** and Low, P.S. (2001) Folate-mediated targeting: from diagnostics to drug and gene delivery. *Drug Discovery Today* **6**, 44-51.

- **Leamon, C.P.**, DePrince, R.B., and Hendren, R.W. (1999) Folate-mediated Drug Delivery: Effect of Alternative Conjugation Chemistry. *J. Drug. Targeting* **7**, 157-169.
- **Leamon C.P.**, Weigl, D., and Hendren, R.W. (1999) Folate Copolymer-mediated Transfection of Cultured Cells. *Bioconjugate Chemistry* **10**, 947-957.
- Holladay, S.R., Yang, Z.F., Kennedy, M.D., **Leamon, C.P.**, Lee, R.J., Jayamani, M., Mason, T. and Low, P.S. (1998) Riboflavin-mediated Delivery of a macromolecule into Cultured Human Cells. *Biochimica et Biophysica Acta*. **1426**, 195-204.
- Low, P.S. and **Leamon, C.P.** (1997) Intracellular Delivery of Peptides and Proteins. *Controlled Drug Delivery: Challenges and Strategies*. A.C.S. Professional Reference Book, Ed. Kinam Park.
- **Leamon, C.P.** and Low, P.S. (1994) Selective targeting of malignant cells with cytotoxin-folate conjugates. *Journal of Drug Targeting* **2**, 101-112.
- **Leamon, C.P.** (1993) Ph.D. thesis: Delivery of Macromolecules into Living Cells via Exploitation of Folate Receptor Endocytosis". Purdue University.
- **Leamon, C.P.**, Pastan, I., and Low, P.S. (1993) Cytotoxicity of folate-*Pseudomonas* exotoxin conjugates toward tumor cells: contribution of translocation domain. *Journal of Biological Chemistry* **268**, 24847-24854.
- Turek, J.J., **Leamon, C.P.**, and Low, P.S. (1993) Endocytosis of folate-protein conjugates: Ultrastructural location in KB cells. *Journal of Cell Science* **106**, 423-430.
- **Leamon, C.P.** and Low, P.S. (1993) Membrane folate binding proteins are responsible for folate-protein conjugate endocytosis into cultured cells. *Biochemical Journal* **291**, 855-860.
- **Leamon, C.P.** and Low, P.S. (1992) Cytotoxicity of momordin-folate conjugates in cultured human cells. *Journal of Biological Chemistry* **267**, 24966-24971.
- **Leamon, C.P.** and Low, P.S. (1991) Delivery of macromolecules into living cells: A method that exploits folate receptor endocytosis. *Proceedings of the National Academy of Sciences, USA* **88**, 5572-5576.

ABSTRACTS

- **Leamon, C.P.**, Reddy, J.A., Wang, K., Dorton, R., Westrick, E., Dawson, A., Smith, T., Vlahov, I., and Vetzel, M. (2007) Folate Receptor Specific Anti-Tumor Activity of EC0305, a Folate-Tubulysin Conjugate. Abstract #2261, *Proceedings of the AACR* **48**. 98th Annual Meeting, AACR, Los Angeles, CA.
- Reddy, J.A., Dorton, R., Westrick, E., Dawson, A., Smith, T., Xu, L.C., Vetzel, M., Vlahov, I., and **Leamon, C.P.** (2007) Preclinical Evaluation of EC145, a Folate-Vinca Alkaloid Conjugate. Abstract #1492, *Proceedings of the AACR* **48**. 98th Annual Meeting, AACR, Los Angeles, CA.

- Sausville, E., LoRusso, P., Quinn, M., Forman, K., **Leamon, C.**, Morgenstern, D., and Messmann, R. (2007) A phase I study of EC145 administered weeks 1 and 3 of a 4-week cycle in patients with refractory solid tumors. Abstract, *Proceedings of the American Society of Clinical Oncology*, 43rd Annual Meeting, ASCO, Chicago, IL.
- Vlahov, I.R., Santhapuram, H.K.R., Kleidl, P.J., Stanford, K.M., Reddy, J.A., Vetzel, M., Westrick, E. and **Leamon, C.P.** Design and Synthesis of Folate Receptor Targeted Chemotherapeutics: Folic Acid Conjugate of Desacetylvinblastine Hydrazide (EC145). 232nd American Chemical Society National Meeting and Exposition, September 10-14, 2006, San Francisco, CA. Poster number: Medi 54.
- Reddy, J.A., Santhapuram, H.K., Westrick, E., Vetzel, M., Dawson, A., Dorton, R., Smith, T., Vlahov, I., and **Leamon, C.P.** (2006) Folate receptor targeted anti-tumor activity of EC-0225, a folate-targeted dual drug conjugate. Abstract #568, *Proceedings of the AACR* 47, 135. 97th Annual Meeting, AACR, Washington D.C.
- Lu, Y., Xu, L.C., Parker, N., Westrick, E., Vetzel, M., Low, P.S., **Leamon, C.P.** (2006) Folate-hapten conjugate targeted immunotherapeutics: Pharmacokinetics, biodistribution and enhanced antitumor activity. Abstract #5574, *Proceedings of the AACR* 47, 1310. 97th Annual Meeting, AACR, Washington D.C.
- Lu, Y., Xu, L.C., Parker, N., Westrick, E., Vetzel, M., Low, P.S., **Leamon, C.P.** (2005) Folate-hapten Conjugate Targeted Immunotherapeutics: Pharmacokinetics, Biodistribution, and Enhanced Antitumor Activity. *Second Annual "Cancer Immunotherapy, Biological Therapies for Cancer*, Cambridge, MA.
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- **Leamon, C.P.**, DePrince, R.B. and Hendren, R.W. (1995) Cationic Liposome-mediated Antisense Inhibition of Luciferase Activity in Cultured HeLa Cells. *Third Annual Glaxo Research Institute Development Symposium*.
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- **Leamon, C.P. and Low, P.S. (1992) Cytotoxicity of folate-momordin conjugates in cultured human cells. *Mol. Biol. Cell* 3, 117a (abstract no. 678).**

PRESENTATIONS

Invited Speaker, 2007 Entrepreneurship Workshop, SROP Conference, July 27, 2007, Purdue University, West Lafayette, IN. Title: Genesis of Folate Targeted Drug Delivery Technology.

Invited Speaker, Department of Chemistry, Indiana State University, Terre Haute, Indiana October 17, 2006. Title: Applications of Folate-Targeted Technology for the Treatment of Cancer and Immune Diseases.

Invited Speaker, 2006 *Discovery Partners Symposium*, Purdue University, West Lafayette, Indiana, October 12, 2006. Title: Folate-targeted Chemotherapeutics: From Discovery to Clinic.

Invited Speaker, 1st International Workshop on Folate Receptors, 2006, Aberfoyle, Scotland, June 11, 2006. Title: Two new folate-targeted drugs in clinical trials.

Invited Speaker, Entrepreneurial Boot Camp for Chief Scientific Officers and Academic Researchers, *BIO 2006 Annual Meeting*, Chicago, IL., April 6, 2006. Title: Development of Folate-Targeted Therapeutics.

Invited Speaker, Medical Chemistry Division symposium lecture, *2006 ACS Annual Meeting*, Atlanta, GA., March 29, 2006. Title: Folate receptor targeted design of anticancer drugs with improved tumor selective delivery.

Invited Speaker, The Science and Business of Folate-targeted Technology. Miller College of Business, Ball State University, June 16, 2005.

Invited Speaker, Advances in Liposomes: New Technologies and New Therapies, Chicago, IL, September 12-14, 2004. Title: Practical Applications of Folate-Targeted Technology.

Invited Speaker, Innovations in Cancer Drug Discovery, London, England, June 15, 2004. Title: Folate-targeted Drug Delivery.

Invited Speaker, Symposium lecture, *2003 AAPS Annual Meeting and Exposition*, Salt Lake City, UT, October 27, 2003. Title: Recent Advances in Folate-targeted Technology.

Invited Speaker, *Department of Biochemistry, Purdue University*, West Lafayette, IN, October 21, 2003. Seminar title: Conducting Oncology Research in Industry.

Invited Speaker, *Van Andel Research Institute*, Grand Rapids, Michigan, October 15, 2003. Seminar title: Folate-targeted Technology.

Invited Speaker, 2003 Albert A. Hopeman Lecturer, *Grove City College*, Grove City, PA., October 6, 2003. Seminar title: Folate-targeted Technology: Recent Therapeutic Advances.

Invited Speaker, *Emerging Cancer Therapeutics Conference*, Cambridge, MA, September 11, 2003. Folate-targeted Technology: Recent Therapeutic Advances..

Invited Speaker, *Southwest Oncology Group National Meeting, Basic Sciences Section*, Chicago, IL October 27, 2001. Folate-mediated Drug Delivery: From Diagnostics to Drug and Gene Therapy.

Invited Speaker, *Department of Biochemistry, Purdue University*, West Lafayette, IN, October 16, 2001. Seminar title: Conducting Research for the Large Pharmaceutical vs. the Small Biotech Start-up.

Invited Speaker, *6th International Drug Delivery Technologies & Deal-making Summit*, Princeton, NJ, July 25, 2001. Folate-mediated Drug Delivery: From Diagnostics to Drug and Gene Therapy.

Invited Speaker, *2000 Job Fair, U. of Ill.* At Urbana-Champaign, Champaign, IL, January 27, 2000. Conducting Research for the Large Pharmaceutical vs. the Small Biotech Start-up.

Invited Speaker, *1999 Purdue Research Park Life Science Technical Conference*, West Lafayette, IN, August 31, 1999. Vitamin-mediated Tumor Targeting of Bioactive Formulations.

Invited speaker, *1994 Southeast Regional AAPS Meeting*, Durham, N.C, April 21, 1994. Folate-mediated Delivery of Macromolecules into Cultured Eucaryotic Cells.

Patents Issued or Submitted

Leamon, C.P. and Ellis, P.R. Method of administering conjugates. Application #TBD filed on November 16, 2007 (Barnes & Thornburg).

Vlahov, I.R., Wang, K., **Leamon, C.P.** Tubulysins and processes for preparing. Provisional Patent Application #60/982,595 filed October 25, 2007 (Barnes & Thornburg).

Leamon, C.P., Vlahov, I.R., Wang, Yu. Binding ligand tubulysin conjugates and analogs thereof. Provisional Patent Application #60/911,551 filed April 13, 2007 (Barnes & Thornburg).

Leamon, C.P., Vlahov, I.R. Binding Ligand Linked Drug Delivery Conjugates. Provisional Patent Application #60/894,901 filed March 14, 2007 (Barnes & Thornburg).

Leamon, C.P., Vlahov, I.R., Santhapuram, H.K., Kleindl, P., Wang, Y., You, F. Conjugates Containing Hydrophilic Spacer Linkers. Provisional Patent Application # 60/946,092 filed on June 25, 2007, B&T Matter # 20150-78350.

Leamon, C.P. and Vlahov, I.R. Methods and Compositions for Treating and Diagnosing Kidney Diseases. Provisional Patent Application 60/901778 filed February 16, 2007 (Barnes & Thornburg).

Vlahov, I.R., **Leamon, C.P.** and Vite, G.D. Conjugates of aziridinyl-epothilone analogs and pharmaceutical compositions comprising same. Provisional filed May 26, 2006; application serial No. 60/808,367 (BMS docket No. 10678 PSP).

Vlahov, I.R. and Leamon, C.P., Ligand Conjugates of Vinca Alkaloids, Analogs, and Derivatives. App No. 60/709936; Provisional filed August 19, 2005. Attorney Docket #20150-77850 (Barnes & Thornburg).

Vlahov, I.R. and Leamon, C.P., Multi-Drug Ligand Conjugates. App No. 60/709950; Provisional filed August 19, 2005. Attorney Docket #20150-77849 (Barnes & Thornburg).

Vlahov, I.R. and Leamon, C.P., Bivalent linkers and conjugates thereof. US2005/026068. Filed July 12, 2005.

Vlahov, I.R. and Leamon, C.P., Cytomodulating conjugates of members of specific binding pairs. App No. 11/180409; Provisional filed July 12, 2005.

Low, P.S., Hartmann, L., Leamon, C.P. and Ellis, R. Method For Vitamin Receptor Detection and Cancer Prognosis. Provisional Application No. 60/666,430. Filing date: March 30, 2005.

Xu, L.C, Vlahov, I.R., Leamon, C.P., Santhapuram, H.K. and Li, C.H. Synthesis, Purification, and Uses of Pteroic Acid and Derivatives and Conjugates Thereof. App No. 60/662277; Provisional filed March 16, 2005. Attorney Docket # 20150-72881 (Barnes & Thornburg).

Vlahov, I.R., Leamon, C.P., Howard, S.H., Parker, M.A., Santhapuram, H.K., Satyam, A. and Reddy, J.A. Vitamin Receptor Binding Drug Delivery Conjugates. Provisional filed January 27, 2003. Attorney Docket # 20150-74359 (Barnes & Thornburg). PCT filed August, 2004. WO 2004/069159 A2.

Green, M.A., Leamon, C.P. and Ke, C.Y., Folate mimetics and folate-receptor binding conjugates thereof. PCT/US Int. Appl. 02085908 WO, October 31, 2002.

Leamon, C.P. and Vlahov, I.R., Vitamin-Mitomycin Conjugates. Provisional filed May 15, 2002. Attorney Docket # 20150-70241 (Barnes & Thornburg). PCT filed May 8, 2003 (PCT/US03/14969).

Leamon, C.P. and Parker, M.A., Vitamin-targeted imaging Agents. **PATENT # 7,128,893;** issued 10-31-2006.

Leamon, C.P., Fusogenic lipids and vesicles. Isis Pharmaceuticals. **PATENT # 6,858,226;** issued 2-22-2005.

Leamon, C.P., Fusogenic lipids and vesicles. Isis Pharmaceuticals. **PATENT # 6,379,698;** issued 4-30-2002.

Mehta, Rahul; Hardee, Gregory E.; Leamon, Christopher P. Long-circulating liposomal compositions. PCT Int. Appl. (filed 5-20-1999) WO 09959547 Isis Pharmaceuticals. US Utility filed 6-4-04 serial number 10/861,983.



EXHIBIT A



Compound Registration

Compound Serial # (To be assigned): [REDACTED]

Scientist Name: M. Parker

Compound Lot #: [REDACTED]

Date of Synthesis: [REDACTED]

Name of Compound *: Pte-D-Glu-D-Dap-D-Asp-D-Cys-AMAS-4-aminocyclohexanone methyl T2 toxin ketal

Molecular Formula: C₆₄H₈₅N₁₁O₂₄S

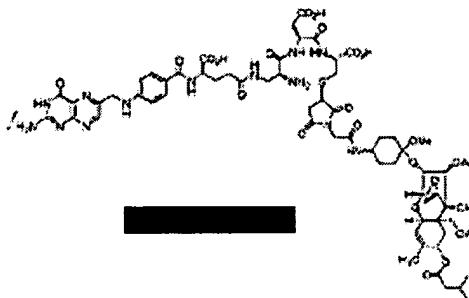
Compound Molecular Weight: 1476.52

Compound extinction coefficients and lambda max :

Amount of Compound: 7 mg

Notebook References: [REDACTED]

Structure of Compound:



Analytical and Spectral Data **:

Filed	Test Type	R _f :	Solvent System					
<input type="checkbox"/>	TLC	R _f :						
<input checked="" type="checkbox"/>	HPLC	T _r :	Wave Length		Purity:			
		Flow Rate:		Column				
		Method:						
		A		B				
<input type="checkbox"/>	¹ H-NMR	Solvent:						
<input type="checkbox"/>	¹³ C-NMR	Solvent:						
<input checked="" type="checkbox"/>	Mass Spectrum		Maldi	ESI	ESI			
<input type="checkbox"/>	Elemental Analysis		C=	H=	N=	O=	S=	Cl=
<input type="checkbox"/>	Karl Fischer							
<input type="checkbox"/>	Optical Purity							
<input type="checkbox"/>	Other Specify: _____		Boiling Point	_____				
<input type="checkbox"/>	Certificate of Analysis		Melting Point	_____				

* Stereochemistry information should be included when appropriate.

** It is the responsibility of the Scientist to attach all analytical and spectral data on compound to this report.

*** Note: If compound is not being further pursued at this time, list here.

Scientist:

Deactivation Date:

Reactivation Date:

Registration form completed:

Signature/date



Compound Registration

Compound Serial # (To be assigned): [REDACTED]

Scientist Name: Iontcho R. Vlahov

Compound Lot #: [REDACTED]

Date of Synthesis: [REDACTED]

Name of Compound *: Taxol-BTCA-EDA-FA

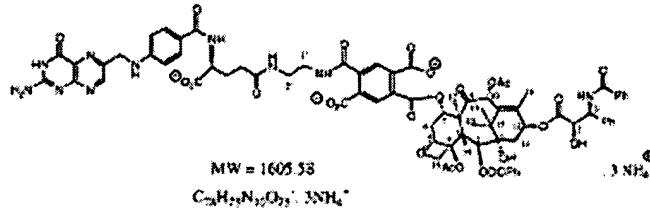
Molecular Formula: C₇₈H₈₇N₁₃O₂₅

Compound Molecular Weight: 1605.58

Compound extinction coefficients and lambda max :

Amount of Compound 25 mg - 5 mg (for NMR) - 10 mg (for Chrs)

Structure of Compound:



Analytical and Spectral Data *:

Filed Test Type Data

<input type="checkbox"/>	TLC	R _f : _____	Solvent System: _____
<input checked="" type="checkbox"/>	HPLC	T _R : _____	Purity: _____
<input checked="" type="checkbox"/>	¹ H-NMR		
<input type="checkbox"/>	¹³ C-NMR		
<input checked="" type="checkbox"/>	Mass Spect.		
	Boiling Point		
	Melting Point		
<input type="checkbox"/>	Elemental Analysis		
<input type="checkbox"/>	Optical Purity		
<input type="checkbox"/>	Other Specify: _____		
<input type="checkbox"/>	Certificate of Analysis		

* Stereochemistry information should be included when appropriate.

** It is the responsibility of the Scientist to attach all analytical and spectral data on compound to this report.

Registration form completed:

I. Vlahov [REDACTED]

Signature/date

Note:

If compound is not being further pursued at this time, list here.

Scientist:
(Name)

Deactivation Date:

Reactivation Date:



Compound Registration

Compound Serial # (To be assigned): [REDACTED]

Scientist Name: Ionitcho Vlahov

Compound Lot #: [REDACTED]

Date of Synthesis: [REDACTED]

Name of Compound #: Actamycin-maleimidohydrazone

Molecular Formula: C₇₃H₈₅N₁₃O₂₅S

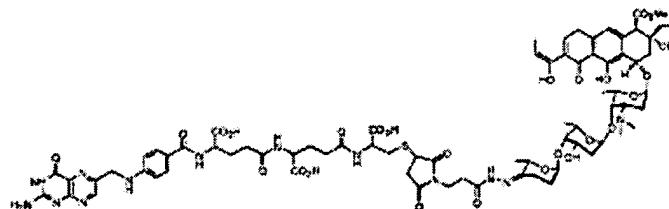
Compound Molecular Weight: 1721.62

Compound extinction coefficients and lambda max: [REDACTED]

Amount of Compound: 5.5 mg

Notebook References: [REDACTED]

Structure of Compound:



Analytical and Spectral Data **:

Test	Test Type	RF	Solvent System	
<input type="checkbox"/>	TLC			
<input checked="" type="checkbox"/>	HPLC		T _r : 15.93	Wave Length 394 nm, Purity 83.5%
			Flow Rate: 1 ml/min	Column: NovaPak C18 3 ⁹ x 150
			Method:	
			A: 10 mM NH ₄ OAc	B: CH ₃ CO ₂ H
<input type="checkbox"/>	¹ H-NMR		Solvent:	
<input type="checkbox"/>	¹³ C-NMR		Solvent:	
<input checked="" type="checkbox"/>	Mass Spectrum		Mass	ESI Yes
<input type="checkbox"/>	Elemental Analysis		C =	H =
<input type="checkbox"/>	Karl Fischer		N =	O =
<input type="checkbox"/>	Optical Purity		S =	Cl =
<input type="checkbox"/>	Other Specify: _____		Br =	Ni =
<input type="checkbox"/>	Certificate of Analysis		Boiling Point _____	
			Melting Point _____	

* Stereochemistry information should be included when appropriate.

** It is the responsibility of the Scientist to attach all analytical and spectral data on compound to this report.

*** Note: If compound is not being further pursued at this time, list here.

Scientist: *Vlahov*

Deactivation Date:

Reactivation Date: [REDACTED]

Registration form completed: *Initiated 10/10/01*

Signature/date: [REDACTED]



Example 10a

Compound Registration

Compound Serial # (To be assigned): [REDACTED]

Scientist Name: Hari Krishna R. Santhapuram

Compound Lot #: [REDACTED]

Date of Synthesis: [REDACTED]

Name of Compound #: OA-SECO-CBI-INDOLE₂-NH-VAL-LEVUNYLHYDRAZONE-CH₂-CH₂-MALEIMIDE-EC89Molecular Formula: C₅₈H₉₄ClN₁₃O₂₃S

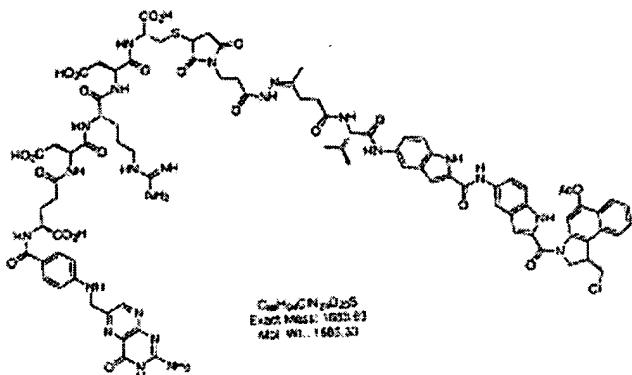
Compound Molecular Weight: 1885.33 [EXACT MASS: 1883.63]

Compound extinction coefficients and lambda max: [REDACTED]

Amount of Compound: ~3 mg

Notebook References: [REDACTED]

Structure of Compound:



Analytical and Spectral Data **:

Test	Type	Results
<input type="checkbox"/>	TLC	R _f : Solvent System: [REDACTED]
<input checked="" type="checkbox"/>	HPLC	T _r 20.23 Wave Length 298.2, 331.6 Purity: ~90% Flow Rate: 1.0ml/min Column: Novapak C18 Method: 51% A: 30% B: 50% B: 1ml/min A: 10mM Phosphate Buffer pH=6.99 B: Acetonitrile
<input type="checkbox"/>	¹ H-NMR	Solvent: [REDACTED]
<input type="checkbox"/>	¹³ C-NMR	Solvent: [REDACTED]
<input checked="" type="checkbox"/>	Mass Spectrum	Mass Spectrum: [REDACTED] ESI
<input type="checkbox"/>	Elemental Analysis	C= [REDACTED] H= [REDACTED] N= [REDACTED] O= [REDACTED] S= [REDACTED] Cl= [REDACTED] Na= [REDACTED]
<input type="checkbox"/>	Karl Fischer	[REDACTED]
<input type="checkbox"/>	Optical Purity	[REDACTED]
<input type="checkbox"/>	Other Specify: _____	Boiling Point: _____ Melting Point: _____
<input type="checkbox"/>	Certificate of Analysis	[REDACTED]

* Sterechemistry information should be included when appropriate.

** It is the responsibility of the Scientist to attach all analytical and spectral data on compound to this report.

Registration form completed: _____

Signature/date



Compound Registration

Compound Serial # (To be assigned): [REDACTED]

Scientist Name: M. Parker

Compound Lot #: [REDACTED]

Date of Synthesis: [REDACTED]

Name of Compound *: thizoxin-dimethylsilane-vinylsulfone conjugate with EC59

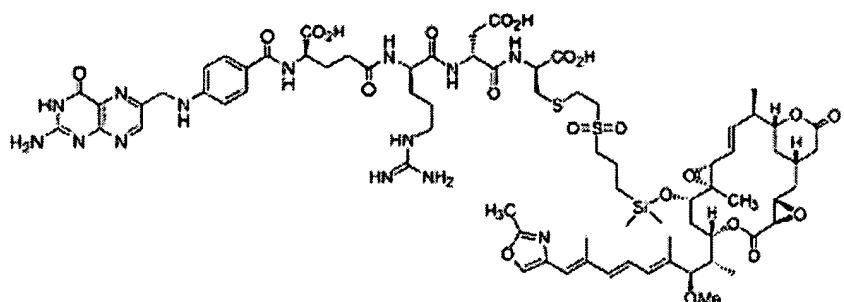
Molecular Formula: C₃₇H₄₈N₄O₂S₂Si

Compound Molecular Weight: 1631.90

Compound extinction coefficients and lambda max :

Amount of Compound: -7 mg

Notebook References: [REDACTED]



Analytical and Spectral Data **:

Test	Type	Results
<input type="checkbox"/>	TLC	R _f : Solvent System:
<input checked="" type="checkbox"/>	HPLC	T _r : Wave Length: Purity: Flow Rate: Column: Method: A B
<input type="checkbox"/>	¹ H-NMR	Solvent:
<input type="checkbox"/>	¹³ C-NMR	Solvent:
<input type="checkbox"/>	Mass Spectrum	Mass: E/CI: ESI
<input type="checkbox"/>	Elemental Analysis	C= H= N= O= S= Cl= Na=
<input type="checkbox"/>	Karl Fischer	
<input type="checkbox"/>	Optical Purity	
<input type="checkbox"/>	Other Specify: _____	Boiling Point: _____ Melting Point: _____
<input type="checkbox"/>	Certificate of Analysis	

* Stereochemistry Information should be included when appropriate.

** It is the responsibility of the Scientist to attach all analytical and spectral data on compound to this report.

*** Note: If compound is not being further pursued at this time, list here.

Scientist:

Deactivation Date:

Reactivation Date:

Registration form completed:

Signature/date

Example 40



Compound Registration

Compound Serial # (To be assigned): [REDACTED]

Scientist Name: Ionelio Vlahov

Compound Lot #: [REDACTED]

Date of Synthesis: [REDACTED]

Name of Compound *: Fol-Cys-SS-p-benzylcarbamoyl-MMA

Molecular Formula: C₄₆H₄₈N₁₁O₁₅S₂

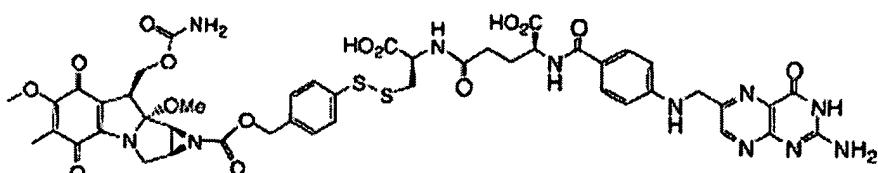
Compound Molecular Weight: 1059.07

Compound extinction coefficients and lambda max: [REDACTED]

Amount of Compound: 2 mg

Notebook References: [REDACTED]

Structure of Compound:

C₄₆H₄₈N₁₁O₁₅S₂

Exact Mass: 1058.28

Mol. Wt.: 1059.07

C, 52.17; H, 4.57; N, 14.55; O, 22.66; S, 6.06

Analytical and Spectral Data **:

Filed	Test Type	Solvent System						
<input type="checkbox"/>	TLC	R _f :						
<input checked="" type="checkbox"/>	HPLC	T _r :	Wave Length		Purity:			
		Flow Rate:		Column:				
		Method:						
		A		B				
<input checked="" type="checkbox"/>	¹ H-NMR	Solvent: D ₂ O						
<input type="checkbox"/>	¹³ C-NMR	Solvent:						
<input type="checkbox"/>	Mass Spectrum	Maldi EI/CI ESI						
<input type="checkbox"/>	Elemental Analysis	C=	H=	N=	O=	S=	Cl=	Nd=
<input type="checkbox"/>	Karl Fischer							
<input type="checkbox"/>	Optical Purity							
<input checked="" type="checkbox"/>	Other Specifc: UV	Boiling Point _____ Melting Point _____						
<input type="checkbox"/>	Certificate of Analysis							

* Stereorechemistry information should be included when appropriate.

** It is the responsibility of the Scientist to attach all analytical and spectral data on the compound to this report.

Registration form completed:

Signature/date